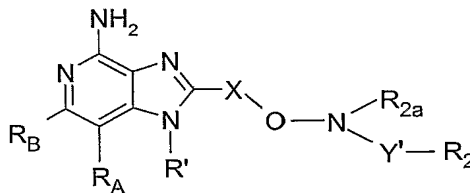


## WHAT IS CLAIMED IS:

1. A compound of the Formula I:



I

wherein:

X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;

R<sub>A</sub> and R<sub>B</sub> are each independently selected from the group consisting of:

hydrogen,  
halogen,  
alkyl,  
alkenyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused aryl ring or heteroaryl ring containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R''' groups;

or when taken together, R<sub>A</sub> and R<sub>B</sub> form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,  
hydroxy,  
alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,

alkylthio, and

$-N(R_9)_2$ ;

Y' is selected from the group consisting of:

a bond,

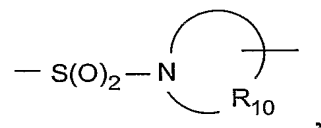
5

$-C(O)-$ ,

$-C(S)-$ ,

$-S(O)_2-$ ,

$-S(O)_2-N(R_8)-$ ,



10

$-C(O)-O-$ ,

$-C(O)-N(R_8)-$ ,

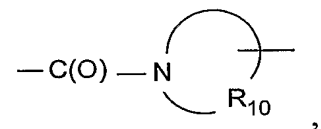
$-C(S)-N(R_8)-$ ,

$-C(O)-N(R_8)-S(O)_2-$ ,

$-C(O)-N(R_8)-C(O)-$ ,

15

$-C(S)-N(R_8)-C(O)-$ ,



$-C(O)-C(O)-$ ,

$-C(O)-C(O)-O-$ , and

$-C(=NH)-N(R_8)-$ ;

20

$R_2$  and  $R_{2a}$  are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

25

arylalkylenyl,

heteroaryl,

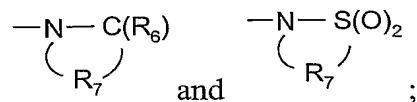
heteroarylalkylenyl,

heterocyclyl,

heterocyclalkylenyl, and  
 alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
 heterocycl, or heterocyclalkylenyl, substituted by one or more substituents selected  
 from the group consisting of:

- 5 hydroxy,
- alkyl,
- haloalkyl,
- hydroxyalkyl,
- alkoxy,
- 10 dialkylamino,
- S(O)<sub>0-2</sub>-alkyl,
- S(O)<sub>0-2</sub>-aryl,
- NH-S(O)<sub>2</sub>-alkyl,
- NH-S(O)<sub>2</sub>-aryl,
- 15 haloalkoxy,
- halogen,
- cyano,
- nitro,
- aryl,
- 20 heteroaryl,
- heterocycl,
- aryloxy,
- arylalkyleneoxy,
- C(O)-O-alkyl,
- 25 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,
- N(R<sub>8</sub>)-C(O)-alkyl,
- O-(CO)-alkyl, and
- C(O)-alkyl;

or R<sub>2</sub> and R<sub>2a</sub> together with the nitrogen atom and Y' to which they are bonded can  
 30 join to form a ring selected from the group consisting of:



R' is hydrogen or a non-interfering substituent;

R''' is a non-interfering substituent;

R<sub>6</sub> is selected from the group consisting of =O and =S;

5 R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl,

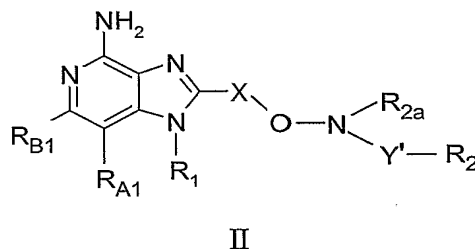
C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl; and

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

10 or a pharmaceutically acceptable salt thereof.

2. A compound of the Formula II:



15        wherein:

X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;

$R_{A1}$  and  $R_{B1}$  are each independently selected from the group consisting of:

hydrogen,

halogen,

20 alkyl,

alkenyl,

alkoxy,

alkylthio, and

$$-\text{N}(\text{R}_9)_2;$$

25 or when taken together, R<sub>A1</sub> and R<sub>B1</sub> form a fused aryl ring or heteroaryl ring

containing one heteroatom selected from the group consisting of N and S, wherein the aryl or heteroaryl ring is unsubstituted or substituted by one or more R groups, or substituted by one R<sub>3</sub> group, or substituted by one R<sub>3</sub> group and one R group;

or when taken together, R<sub>A1</sub> and R<sub>B1</sub> form a fused 5 to 7 membered saturated ring, optionally containing one heteroatom selected from the group consisting of N and S, and unsubstituted or substituted by one or more R groups;

R is selected from the group consisting of:

halogen,  
hydroxy,  
alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and

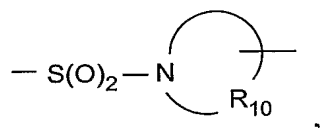
-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>3</sub> is selected from the group consisting of:

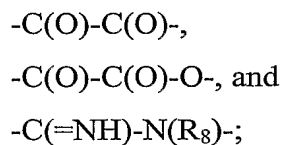
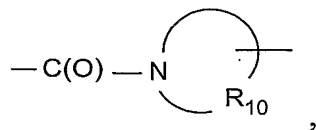
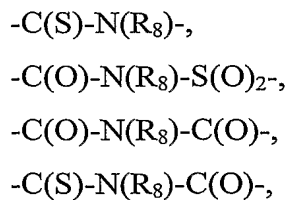
-Z-R<sub>4</sub>,  
-Z-X'-R<sub>4</sub>,  
-Z-X'-Y-R<sub>4</sub>,  
-Z-X'-Y-X'-Y-R<sub>4</sub>, and  
-Z-X'-R<sub>5</sub>;

Y' is selected from the group consisting of:

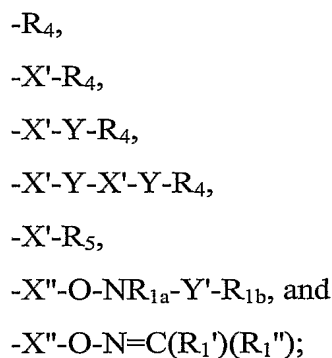
a bond,  
-C(O)-,  
-C(S)-,  
-S(O)<sub>2</sub>-,  
-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



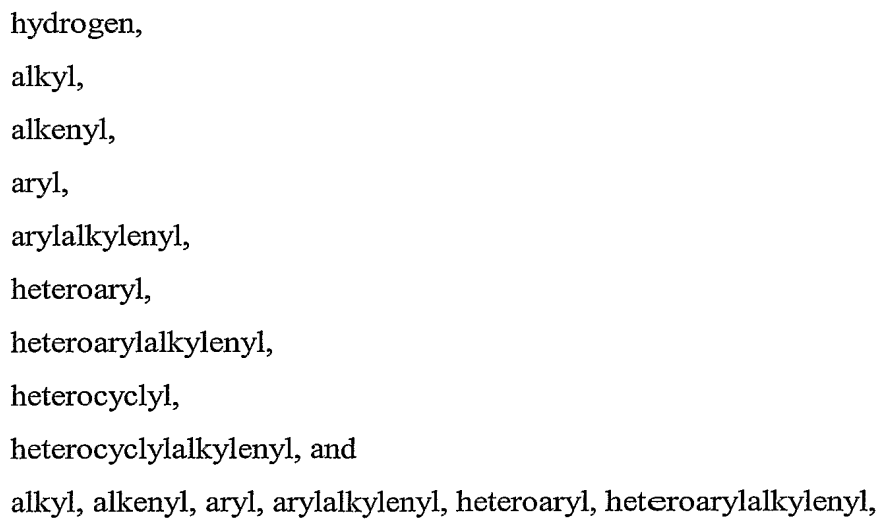
-C(O)-O-,  
-C(O)-N(R<sub>8</sub>)-,



R<sub>1</sub> is selected from the group consisting of:



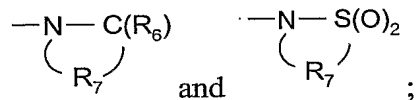
R<sub>1a</sub>, R<sub>1b</sub>, R<sub>1'</sub>, R<sub>1''</sub>, R<sub>2</sub>, and R<sub>2a</sub> are independently selected from the group consisting of:



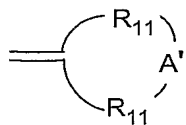
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
cyano,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

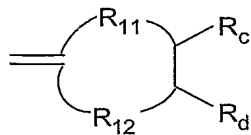
or R<sub>1a</sub> and R<sub>1b</sub> and/or R<sub>2</sub> and R<sub>2a</sub> together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



or  $R_1'$  and  $R_1''$  can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



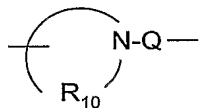
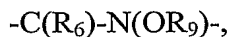
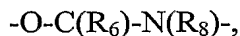
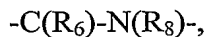
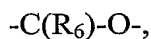
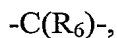
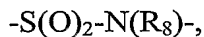
wherein the total number of atoms in the ring is 4 to 9;

R<sub>C</sub> and R<sub>D</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>C</sub> and R<sub>D</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

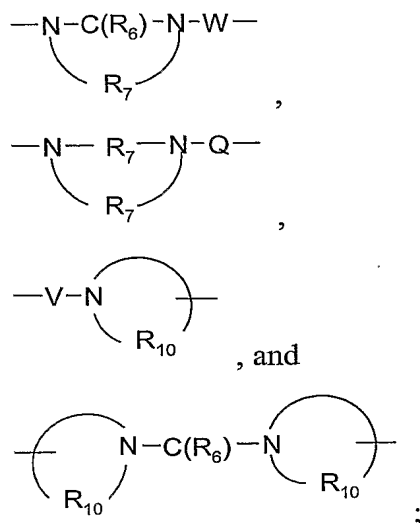
X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X'' is -CH(R<sub>13</sub>)-alkylene- or -CH(R<sub>13</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:







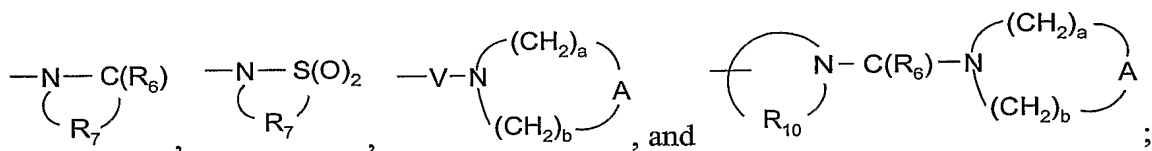
5 Z is a bond or -O-;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

10

15

R<sub>5</sub> is selected from the group consisting of:



R<sub>6</sub> is selected from the group consisting of =O and =S;

20 R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

R<sub>11</sub> is C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>13</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH<sub>2</sub>-, -O-, -C(O)-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

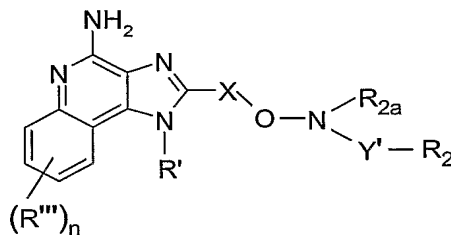
Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

3. A compound of the Formula III:



III

wherein:

X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;

Y' is selected from the group consisting of:

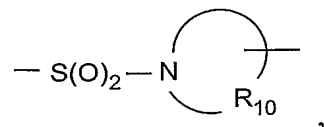
a bond,

-C(O)-,

-C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



5

-C(O)-O-,

-C(O)-N(R<sub>8</sub>)-,

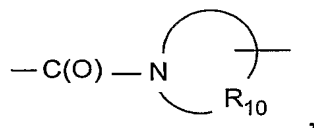
-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

10

-C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

15

R<sub>2</sub> and R<sub>2a</sub> are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

20

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

25

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
5 dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
10 haloalkoxy,  
halogen,  
cyano,  
nitro,  
aryl,  
15 heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
20 -C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl,  
25 C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

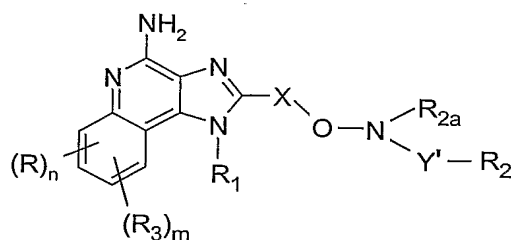
n is an integer from 0 to 4;

R''' is a non-interfering substituent; and

R' is hydrogen or a non-interfering substituent;

30 or a pharmaceutically acceptable salt thereof.

4. A compound of the Formula IIIa:



IIIa

wherein:

5 X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;  
Y' is selected from the group consisting of:

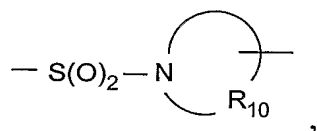
a bond,

-C(O)-,

-C(S)-,

10 -S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

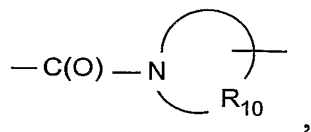
-C(O)-N(R<sub>8</sub>)-,

15 -C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



20 -C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

R is selected from the group consisting of:

halogen,

hydroxy,  
alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting of:

-R<sub>4</sub>,  
-X'-R<sub>4</sub>,  
-X'-Y-R<sub>4</sub>,  
-X'-Y-X'-Y-R<sub>4</sub>,  
-X'-R<sub>5</sub>,  
-X''-O-NR<sub>1a</sub>-Y'-R<sub>1b</sub>, and  
-X''-O-N=C(R<sub>1</sub>') (R<sub>1</sub>'');

R<sub>1a</sub>, R<sub>1b</sub>, R<sub>1</sub>', R<sub>1</sub>'', R<sub>2</sub>, and R<sub>2a</sub> are independently selected from the group consisting of:

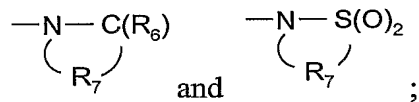
hydrogen,  
alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

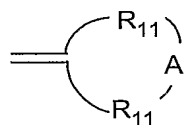
hydroxy,  
alkyl,

haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
cyano,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

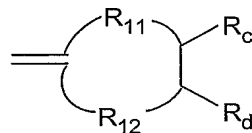
or R<sub>1a</sub> and R<sub>1b</sub> and/or R<sub>2</sub> and R<sub>2a</sub> together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



or R<sub>1</sub>' and R<sub>1</sub>" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

$R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $-N(R_9)_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

$R_3$  is selected from the group consisting of:

- Z- $R_4$ ,
- Z- $X'$ - $R_4$ ,
- Z- $X'$ -Y- $R_4$ ,
- Z- $X'$ -Y- $X'$ -Y- $R_4$ , and
- Z- $X'$ - $R_5$ ;

$n$  is an integer from 0 to 4;

$m$  is 0 or 1; with the proviso that when  $m$  is 1, then  $n$  is 0 or 1;

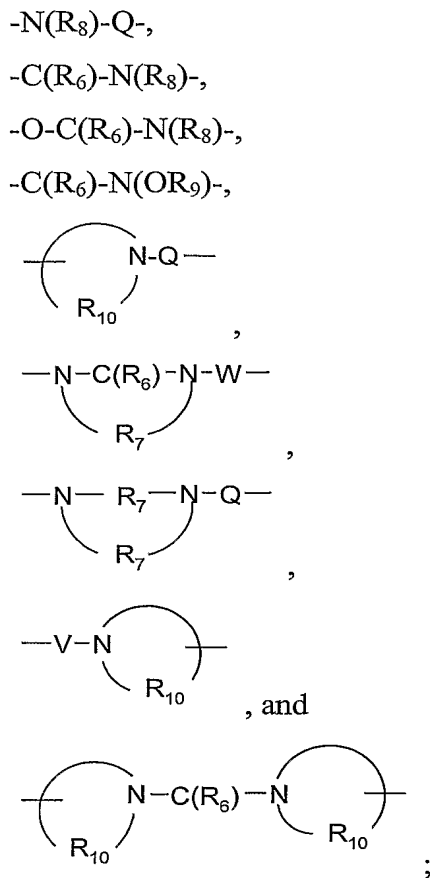
$X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

$X''$  is  $-\text{CH}(R_{13})$ -alkylene- or  $-\text{CH}(R_{13})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

$Y$  is selected from the group consisting of:

- S(O)<sub>0-2</sub>-,
- S(O)<sub>2</sub>-N( $R_8$ )-,
- C( $R_6$ )-,
- C( $R_6$ )-O-,
- O-C( $R_6$ )-,
- O-C(O)-O-,

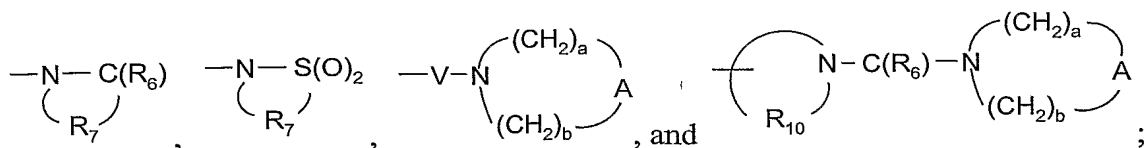




Z is a bond or -O-;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$R_5$  is selected from the group consisting of:



R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl,

5 C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

R<sub>11</sub> is C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

10 R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>13</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

15 A is selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{C}(\text{O})-$ ,  $-\text{S}(\text{O})_{0-2}-$ , and  $-\text{N}(\text{R}_4)-$ ;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

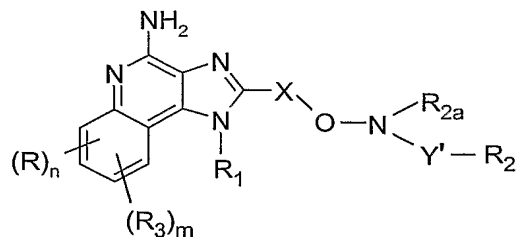
20 V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
or a pharmaceutically acceptable salt thereof.

25

5. A compound of the Formula IIIa:



IIIa

wherein:

5 X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;  
Y' is selected from the group consisting of:

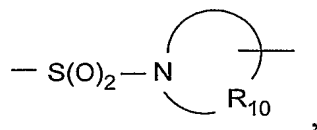
a bond,

-C(O)-,

-C(S)-,

10 -S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

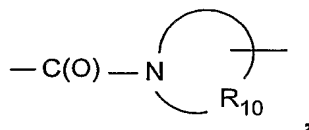
-C(O)-N(R<sub>8</sub>)-,

15 -C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



20 -C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

R<sub>2</sub> and R<sub>2a</sub> are independently selected from the group consisting of:

hydrogen,

alkyl,  
alkenyl,  
aryl,  
arylalkylenyl,  
5 heteroaryl,  
heteroarylalkylenyl,  
heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
10 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected  
from the group consisting of:  
hydroxy,  
alkyl,  
haloalkyl,  
15 hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
20 -NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
cyano,  
25 nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
30 arylalkyleneoxy,  
-C(O)-O-alkyl,

-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
 -N(R<sub>8</sub>)-C(O)-alkyl,  
 -O-(CO)-alkyl, and  
 -C(O)-alkyl;

5 R is selected from the group consisting of:

halogen,  
 hydroxy,  
 alkyl,  
 alkenyl,  
 10 haloalkyl,  
 alkoxy,  
 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting of:

15 -R<sub>4</sub>,  
 -X'-R<sub>4</sub>,  
 -X'-Y-R<sub>4</sub>,  
 -X'-Y-X'-Y-R<sub>4</sub>,  
 -X'-R<sub>5</sub>,  
 20 -X''-O-NH-Y'-R<sub>1</sub>', and  
 -X''-O-N=C(R<sub>1</sub>') (R<sub>1</sub>'');  
 )

R<sub>3</sub> is selected from the group consisting of:

-Z-R<sub>4</sub>,  
 -Z-X'-R<sub>4</sub>,  
 25 -Z-X'-Y-R<sub>4</sub>,  
 -Z-X'-Y-X'-Y-R<sub>4</sub>, and  
 -Z-X'-R<sub>5</sub>;

n is an integer from 0 to 4;

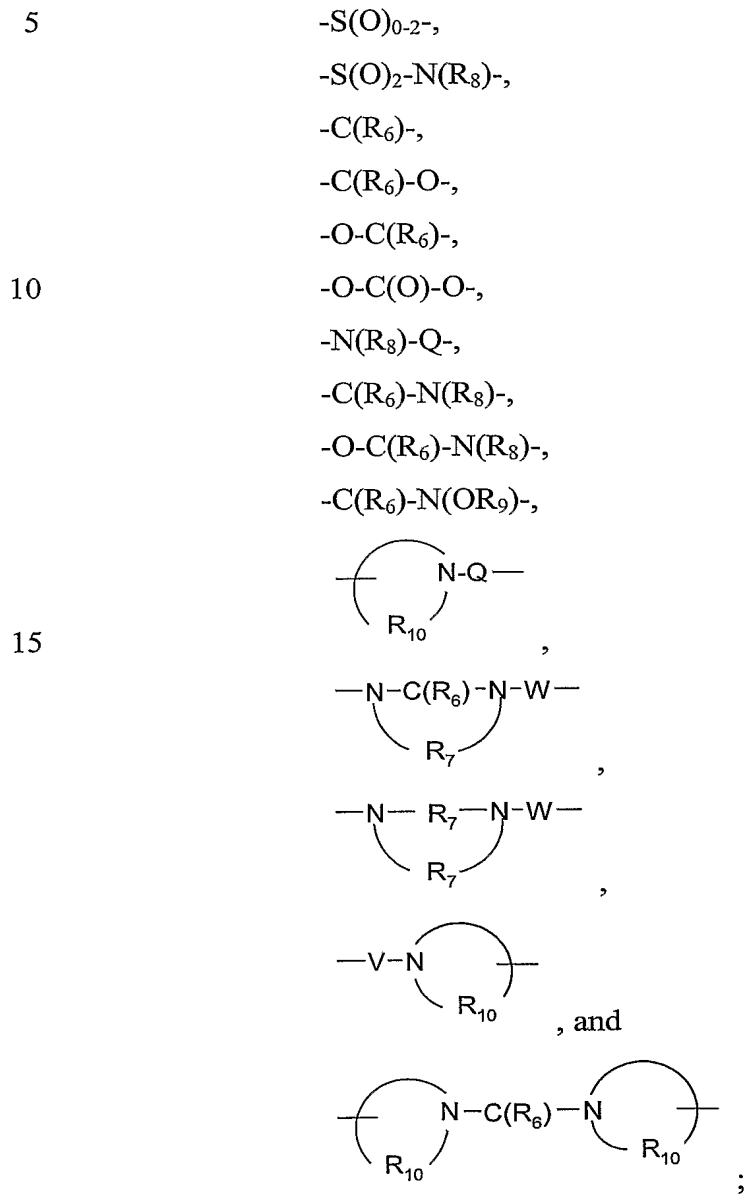
m is 0 or 1; with the proviso that when m is 1, then n is 0 or 1;

30 X' is selected from the group consisting of alkylene, alkenylene, alkynylene,  
 arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and

alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X" is  $-\text{CH}(\text{R}_{13})\text{-alkylene-}$  or  $-\text{CH}(\text{R}_{13})\text{-alkenylene-}$ ;

Y is selected from the group consisting of:

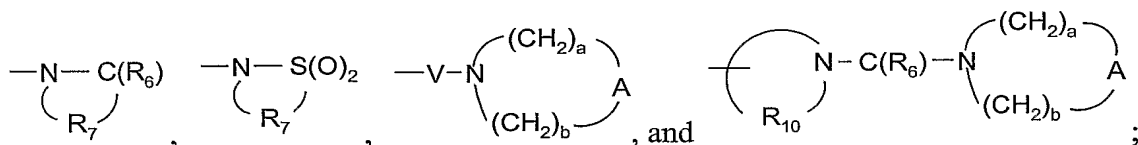


20 Z is a bond or -O-;

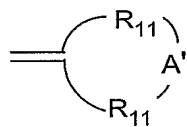
R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,

heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

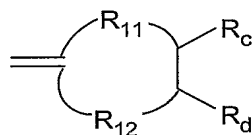
$R_5$  is selected from the group consisting of:



$R_1'$ , and  $R_1''$  are independently the same as  $R_2$ , or  $R_1'$  and  $R_1''$  can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

$R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $\text{---N(R}_9\text{)}_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

$R_6$  is selected from the group consisting of  $\text{=O}$  and  $\text{=S}$ ;

$R_7$  is  $\text{C}_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen,  $\text{C}_{1-10}$  alkyl,  $\text{C}_{2-10}$  alkenyl,  $\text{C}_{1-10}$  alkoxy- $\text{C}_{1-10}$  alkylenyl, and aryl- $\text{C}_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $\text{C}_{3-8}$  alkylene;

$R_{11}$  is  $\text{C}_{1-6}$  alkylene or  $\text{C}_{2-6}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{12}$  is selected from the group consisting of a bond,  $C_{1-5}$  alkylene, and  $C_{2-5}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{13}$  is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of  $-CH_2-$ , -O-,  $-C(O)-$ ,  $-S(O)_{0-2}-$ , and  $-N(R_4)-$ ;

A' is selected from the group consisting of -O-,  $-S(O)_{0-2}-$ ,  $-N(-Q-R_4)-$ , and  $-CH_2-$ ;

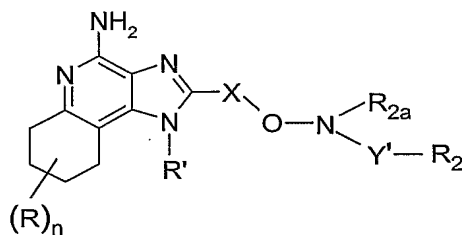
Q is selected from the group consisting of a bond,  $-C(R_6)-$ ,  $-C(R_6)-C(R_6)-$ ,  $-S(O)_2-$ ,  $-C(R_6)-N(R_8)-W-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-O-$ , and  $-C(R_6)-N(OR_9)-$ ;

V is selected from the group consisting of  $-C(R_6)-$ ,  $-O-C(R_6)-$ ,  $-N(R_8)-C(R_6)-$ , and  $-S(O)_2-$ ;

W is selected from the group consisting of a bond,  $-C(O)-$ , and  $-S(O)_2-$ ; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ; or a pharmaceutically acceptable salt thereof.

6. A compound of the Formula IV:



IV

wherein:

X is  $C_{1-10}$  alkylene or  $C_{2-10}$  alkenylene;

Y' is selected from the group consisting of:

a bond,

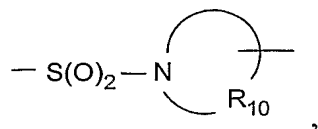
$-C(O)-$ ,

$-C(S)-$ ,

$-S(O)_2-$ ,

$-S(O)_2-N(R_8)-$ ,





-C(O)-O-,

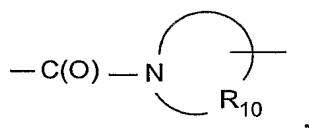
-C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

5 -C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

10 -C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

R is selected from the group consisting of:

halogen,

hydroxy,

15 alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

20 -N(R<sub>9</sub>)<sub>2</sub>;

n is an integer from 0 to 4;

R<sub>2</sub> and R<sub>2a</sub> are independently selected from the group consisting of:

hydrogen,

alkyl,

25 alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

5 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

alkyl,

haloalkyl,

10 hydroxyalkyl,

alkoxy,

dialkylamino,

-S(O)<sub>0-2</sub>-alkyl,

-S(O)<sub>0-2</sub>-aryl,

15 -NH-S(O)<sub>2</sub>-alkyl,

-NH-S(O)<sub>2</sub>-aryl,

haloalkoxy,

halogen,

cyano,

20 nitro,

aryl,

heteroaryl,

heterocyclyl,

aryloxy,

25 arylalkyleneoxy;

-C(O)-O-alkyl,

-C(O)-N(R<sub>8</sub>)<sub>2</sub>,

-N(R<sub>8</sub>)-C(O)-alkyl,

-O-(CO)-alkyl, and

30 -C(O)-alkyl;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl,

C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

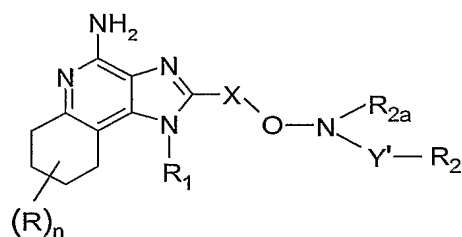
R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene; and

R' is hydrogen or a non-interfering substituent;

5 or a pharmaceutically acceptable salt thereof.

7. A compound of the Formula (IVa):



IVa

10 wherein:

X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;

Y' is selected from the group consisting of:

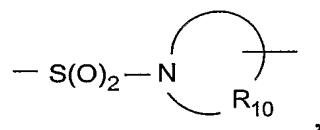
a bond,

-C(O)-,

15 -C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

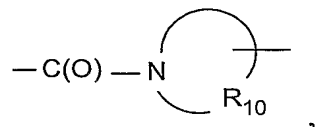
20 -C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

5 R is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

alkenyl,

10 haloalkyl,

alkoxy,

alkylthio, and

-N(R<sub>9</sub>)<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting of:

15 -R<sub>4</sub>,

-X'-R<sub>4</sub>,

-X'-Y-R<sub>4</sub>,

-X'-Y-X'-Y-R<sub>4</sub>,

-X'-R<sub>5</sub>,

20 -X''-O-NR<sub>1a</sub>-Y'-R<sub>1b</sub>, and

-X''-O-N=C(R<sub>1'</sub>)(R<sub>1''</sub>);

R<sub>1a</sub>, R<sub>1b</sub>, R<sub>1'</sub>, R<sub>1''</sub>, R<sub>2</sub>, and R<sub>2a</sub> are independently selected from the group consisting of:

hydrogen,

25 alkyl,

alkenyl,

aryl,

arylalkylenyl,

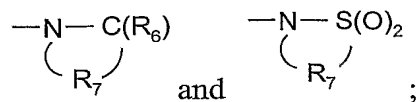
heteroaryl,

30 heteroarylalkylenyl,

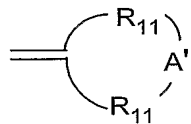
heterocyclyl,  
heterocyclylalkylenyl, and  
alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,  
heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected  
5 from the group consisting of:

hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
10 alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
15 -NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
cyano,  
nitro,  
20 aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
25 -C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

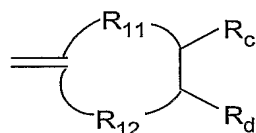
30 or R<sub>1a</sub> and R<sub>1b</sub> and/or R<sub>2</sub> and R<sub>2a</sub> together with the nitrogen atom and Y' to which  
they are bonded can join to form a ring selected from the group consisting of:



or R<sub>1</sub>' and R<sub>1</sub>" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

n is an integer from 0 to 4;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

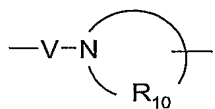
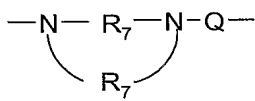
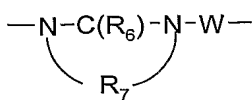
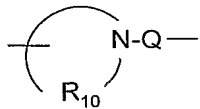
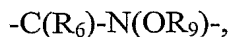
X" is  $-\text{CH}(\text{R}_{13})$ -alkylene- or  $-\text{CH}(\text{R}_{13})$ -alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

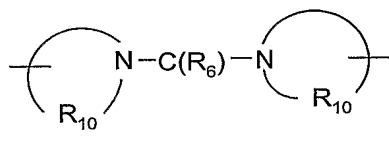
 $-\text{S}(\text{O})_{0-2-},$ 
$$-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-,$$
$$-\text{C}(\text{R}_6)-,$$
$$-\text{C}(\text{R}_6)-\text{O}-,$$
$$-\text{O}-\text{C}(\text{R}_6)-,$$
$$-\text{O}-\text{C}(\text{O})-\text{O}-,$$

-N(R<sub>8</sub>)-Q-

$$-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$
$$-\text{O}-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-,$$



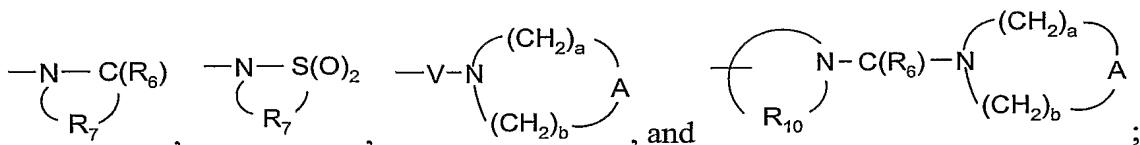
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$R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

15

$R_5$  is selected from the group consisting of:



20

$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,

C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

R<sub>11</sub> is C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>13</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH<sub>2</sub>-, -O-, -C(O)-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

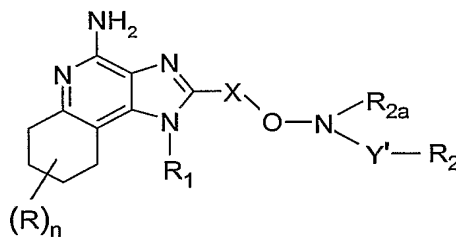
Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

8. A compound of the Formula IVa:



IVa

wherein:

X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;

Y' is selected from the group consisting of:

a bond,

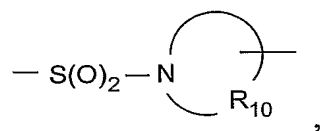


-C(O)-,

-C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

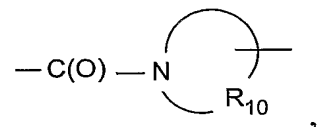
-C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

10      -C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

15      -C(=NH)-N(R<sub>8</sub>)-;

R<sub>2</sub> and R<sub>2a</sub> are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

20      aryl,

arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

25      heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

5 hydroxy,  
alkyl,  
haloalkyl,  
hydroxyalkyl,  
alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
10 -NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
cyano,  
nitro,  
15 aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy;  
20 -C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

25 R is selected from the group consisting of:

halogen,  
hydroxy,  
alkyl,  
alkenyl,  
30 haloalkyl,  
alkoxy,

alkylthio, and

$-N(R_9)_2$ ;

n is an integer from 0 to 4;

$R_1$  is selected from the group consisting of:

5

$-R_4$ ,

$-X'-R_4$ ,

$-X'-Y-R_4$ ,

$-X'-Y-X'-Y-R_4$ ,

$-X'-R_5$ ,

10

$-X''-O-NH-Y'-R_1'$ , and

$-X''-O-N=C(R_1')(R_1'')$ ;

$X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more  $-O-$  groups;

15

$X''$  is  $-\text{CH}(R_{13})\text{-alkylene-}$  or  $-\text{CH}(R_{13})\text{-alkenylene-}$ ;

$Y$  is selected from the group consisting of:

$-S(O)_{0-2}-$ ,

$-S(O)_2-N(R_8)-$ ,

20

$-C(R_6)-$ ,

$-C(R_6)-O-$ ,

$-O-C(R_6)-$ ,

$-O-C(O)-O-$ ,

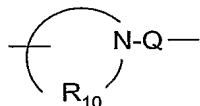
$-N(R_8)-Q-$ ,

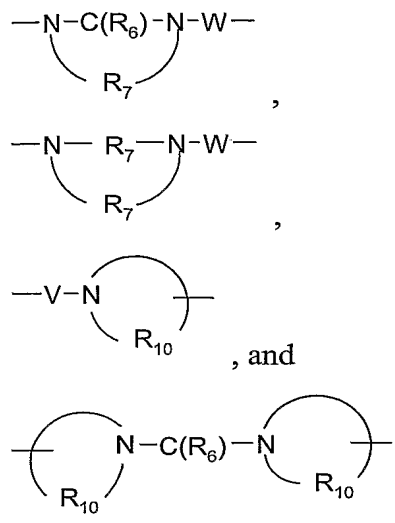
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$-C(R_6)-N(R_8)-$ ,

$-O-C(R_6)-N(R_8)-$ ,

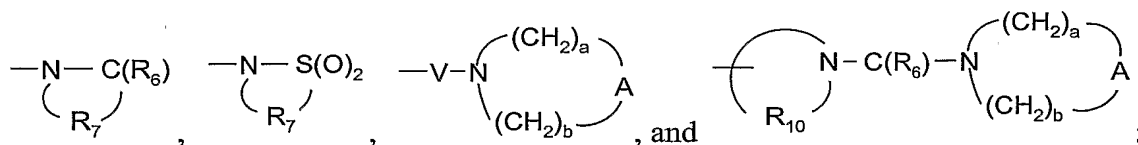
$-C(R_6)-N(OR_9)-$ ,



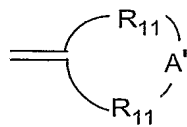


R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

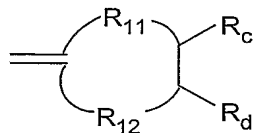
$R_5$  is selected from the group consisting of:



R<sub>1</sub>' and R<sub>1</sub>" are independently R<sub>2</sub>, or R<sub>1</sub>' and R<sub>1</sub>" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

$R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $-N(R_9)_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

$R_6$  is selected from the group consisting of  $=O$  and  $=S$ ;

$R_7$  is  $C_{2-7}$  alkylene;

$R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,

$C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;

$R_{11}$  is  $C_{1-6}$  alkylene or  $C_{2-6}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{12}$  is selected from the group consisting of a bond,  $C_{1-5}$  alkylene, and  $C_{2-5}$  alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

$R_{13}$  is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more  $-O-$  groups;

$A$  is selected from the group consisting of  $-CH_2-$ ,  $-O-$ ,  $-C(O)-$ ,  $-S(O)_{0-2}-$ , and  $-N(R_4)-$ ;

$A'$  is selected from the group consisting of  $-O-$ ,  $-S(O)_{0-2}-$ ,  $-N(-Q-R_4)-$ , and  $-CH_2-$ ;

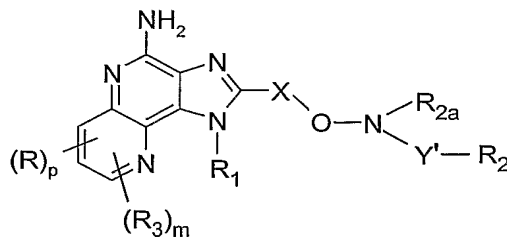
$Q$  is selected from the group consisting of a bond,  $-C(R_6)-$ ,  $-C(R_6)-C(R_6)-$ ,  $-S(O)_2-$ ,  $-C(R_6)-N(R_8)-W-$ ,  $-S(O)_2-N(R_8)-$ ,  $-C(R_6)-O-$ , and  $-C(R_6)-N(OR_9)-$ ;

$V$  is selected from the group consisting of  $-C(R_6)-$ ,  $-O-C(R_6)-$ ,  $-N(R_8)-C(R_6)-$ , and  $-S(O)_2-$ ;

$W$  is selected from the group consisting of a bond,  $-C(O)-$ , and  $-S(O)_2-$ ; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
or a pharmaceutically acceptable salt thereof.

9. A compound of the Formula V:



V

wherein:

X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;

Y' is selected from the group consisting of:

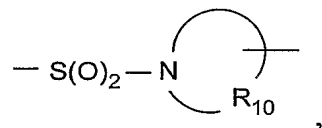
a bond,

-C(O)-,

-C(S)-,

-S(O)<sub>2</sub>-,

-S(O)<sub>2</sub>-N(R<sub>8</sub>)-,



-C(O)-O-,

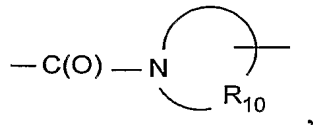
-C(O)-N(R<sub>8</sub>)-,

-C(S)-N(R<sub>8</sub>)-,

-C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,

-C(O)-N(R<sub>8</sub>)-C(O)-,

-C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

$-C(=NH)-N(R_8)-$ ;

R is selected from the group consisting of:

halogen,

hydroxy,

5 alkyl,

alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

10  $-N(R_9)_2$ ;

R<sub>1</sub> is selected from the group consisting of:

$-R_4$ ,

$-X'-R_4$ ,

$-X'-Y-R_4$ ,

15  $-X'-Y-X'-Y-R_4$ ,

$-X'-R_5$ ,

$-X''-O-NR_{1a}-Y'-R_{1b}$ , and

$-X''-O-N=C(R_1')(R_1'')$ ;

R<sub>1a</sub>, R<sub>1b</sub>, R<sub>1'</sub>, R<sub>1''</sub>, R<sub>2</sub>, and R<sub>2a</sub> are independently selected from the group consisting

20 of:

hydrogen,

alkyl,

alkenyl,

aryl,

25 arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

alkyl,

haloalkyl,

hydroxyalkyl,

alkoxy,

dialkylamino,

-S(O)<sub>0-2</sub>-alkyl,

-S(O)<sub>0-2</sub>-aryl,

-NH-S(O)<sub>2</sub>-alkyl,

-NH-S(O)<sub>2</sub>-aryl,

haloalkoxy,

halogen,

cyano,

nitro,

aryl,

heteroaryl,

heterocyclyl,

aryloxy,

arylalkyleneoxy,

-C(O)-O-alkyl,

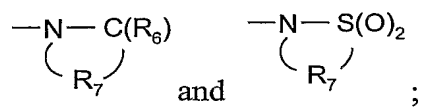
$$-\text{C}(\text{O})-\text{N}(\text{R}_8)_2,$$

-N(R<sub>8</sub>)-C(O)-alkyl,

-O-(CO)-alkyl, and

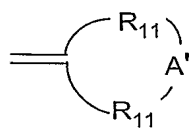
-C(O)-alkyl;

or R<sub>1a</sub> and R<sub>1b</sub> and/or R<sub>2</sub> and R<sub>2a</sub> together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:

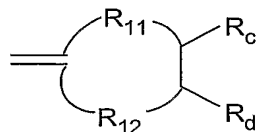




or  $R_1'$  and  $R_1''$  can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

- 5  $R_c$  and  $R_d$  are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and  $-N(R_9)_2$ ; or  $R_c$  and  $R_d$  can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

$R_3$  is selected from the group consisting of:

- 10  $-Z-R_4$ ,  
 $-Z-X'-R_4$ ,  
 $-Z-X'-Y-R_4$ ,  
 $-Z-X'-Y-X'-Y-R_4$ , and  
 $-Z-X'-R_5$ ;

- 15  $p$  is an integer from 0 to 3;

$m$  is 0 or 1, with the proviso that when  $m$  is 1,  $p$  is 0 or 1;

- $X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more  $-O-$  groups;
- 20

$X''$  is  $-\text{CH}(R_{13})\text{-alkylene-}$  or  $-\text{CH}(R_{13})\text{-alkenylene-}$ , wherein the alkylene and alkenylene are optionally interrupted by one or more  $-O-$  groups;

$Y$  is selected from the group consisting of:

- 25  $-\text{S}(\text{O})_{0-2}\text{-}$ ,  
 $-\text{S}(\text{O})_2\text{-N}(R_8)\text{-}$ ,  
 $-\text{C}(R_6)\text{-}$ ,  
 $-\text{C}(R_6)\text{-O-}$ ,

-O-C(R<sub>6</sub>)-,

-O-C(O)-O-,

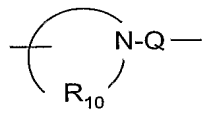
-N(R<sub>8</sub>)-Q-,

-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

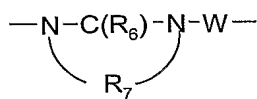
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-O-C(R<sub>6</sub>)-N(R<sub>8</sub>)-,

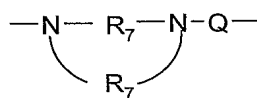
-C(R<sub>6</sub>)-N(OR<sub>9</sub>)-,



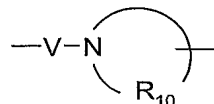
,



,

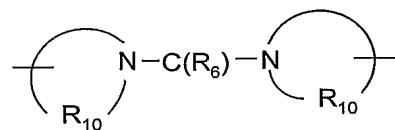


,



10

, and

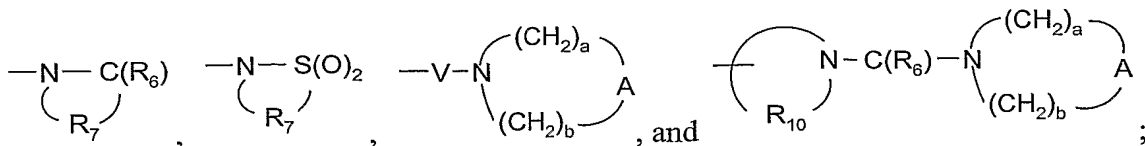


;

Z is a bond or -O-;

R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R<sub>5</sub> is selected from the group consisting of:



R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl,

5 C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

R<sub>11</sub> is C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

10 R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>13</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

15 A is selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{C}(\text{O})-$ ,  $-\text{S}(\text{O})_{0-2}-$ , and  $-\text{N}(\text{R}_4)-$ ;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

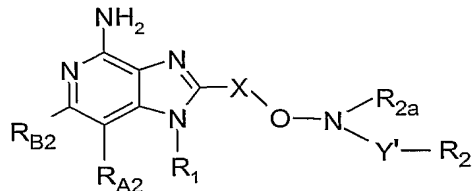
20 V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ ;  
or a pharmaceutically acceptable salt thereof.

25

10. A compound of the Formula VI:



VI

wherein:

5 X is C<sub>1-10</sub> alkylene or C<sub>2-10</sub> alkenylene;  
 R<sub>A2</sub> and R<sub>B2</sub> are each independently selected from the group consisting of:

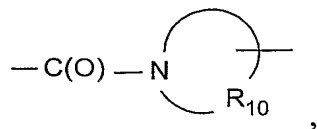
hydrogen,  
 halogen,  
 alkyl,  
 alkenyl,  
 10 alkoxy,  
 alkylthio, and  
 -N(R<sub>9</sub>)<sub>2</sub>;

Y' is selected from the group consisting of:

15 a bond,  
 -C(O)-,  
 -C(S)-,  
 -S(O)<sub>2</sub>-,  
 -S(O)<sub>2</sub>-N(R<sub>8</sub>)-,

20  $\text{— S(O)}_2\text{— N} \begin{array}{c} \text{---} \\ \text{---} \end{array} \text{R}_{10}$  ,

-C(O)-O-,  
 -C(O)-N(R<sub>8</sub>)-,  
 -C(S)-N(R<sub>8</sub>)-,  
 -C(O)-N(R<sub>8</sub>)-S(O)<sub>2</sub>-,  
 25 -C(O)-N(R<sub>8</sub>)-C(O)-,  
 -C(S)-N(R<sub>8</sub>)-C(O)-,



-C(O)-C(O)-,

-C(O)-C(O)-O-, and

-C(=NH)-N(R<sub>8</sub>)-;

5 R<sub>1</sub> is selected from the group consisting of:

-R<sub>4</sub>,

-X'-R<sub>4</sub>,

-X'-Y-R<sub>4</sub>,

-X'-Y-X'-Y-R<sub>4</sub>,

10 -X'-R<sub>5</sub>,

-X''-O-NR<sub>1a</sub>-Y'-R<sub>1b</sub>, and

-X''-O-N=C(R<sub>1'</sub>)(R<sub>1''</sub>);

R<sub>1a</sub>, R<sub>1b</sub>, R<sub>1'</sub>, R<sub>1''</sub>, R<sub>2</sub>, and R<sub>2a</sub> are independently selected from the group consisting of:

15 hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,

20 heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,

25 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

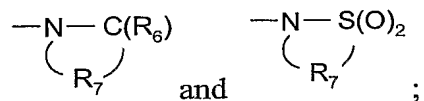
alkyl,

haloalkyl,

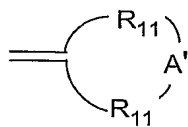
30 hydroxyalkyl,

alkoxy,  
dialkylamino,  
-S(O)<sub>0-2</sub>-alkyl,  
-S(O)<sub>0-2</sub>-aryl,  
-NH-S(O)<sub>2</sub>-alkyl,  
-NH-S(O)<sub>2</sub>-aryl,  
haloalkoxy,  
halogen,  
cyano,  
nitro,  
aryl,  
heteroaryl,  
heterocyclyl,  
aryloxy,  
arylalkyleneoxy,  
-C(O)-O-alkyl,  
-C(O)-N(R<sub>8</sub>)<sub>2</sub>,  
-N(R<sub>8</sub>)-C(O)-alkyl,  
-O-(CO)-alkyl, and  
-C(O)-alkyl;

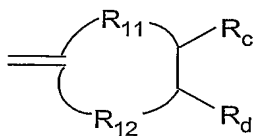
or R<sub>1a</sub> and R<sub>1b</sub> and/or R<sub>2</sub> and R<sub>2a</sub> together with the nitrogen atom and Y' to which they are bonded can join to form a ring selected from the group consisting of:



or R<sub>1</sub>' and R<sub>1</sub>" can join together to form a ring system selected from the group consisting of:



wherein the total number of atoms in the ring is 4 to 9, and



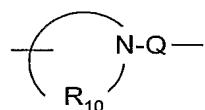
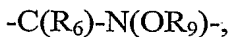
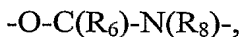
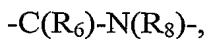
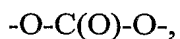
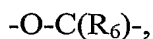
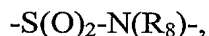
wherein the total number of atoms in the ring is 4 to 9;

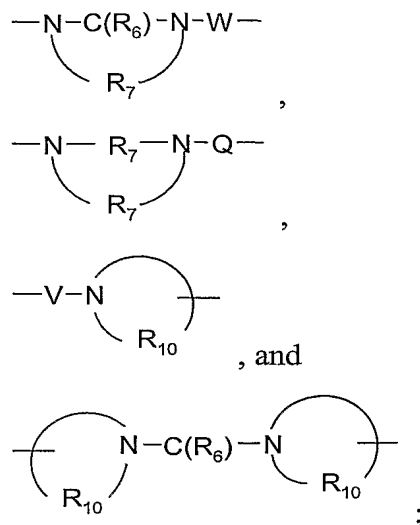
R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four heteroatoms;

X' is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

X'' is -CH(R<sub>13</sub>)-alkylene- or -CH(R<sub>13</sub>)-alkenylene-, wherein the alkylene and alkenylene are optionally interrupted by one or more -O- groups;

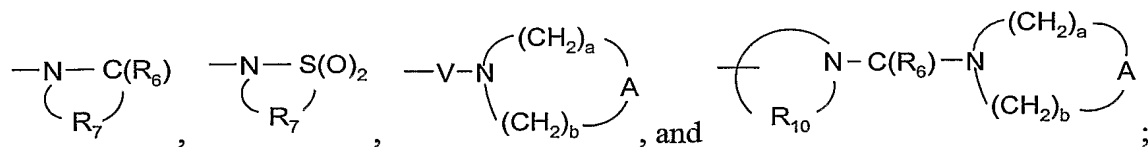
Y is selected from the group consisting of:





5  $R_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted  
 10 or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,  
 15 oxo;

$R_5$  is selected from the group consisting of:



$R_6$  is selected from the group consisting of =O and =S;

$R_7$  is  $C_{2-7}$  alkylene;

20  $R_8$  is selected from the group consisting of hydrogen,  $C_{1-10}$  alkyl,  $C_{2-10}$  alkenyl,  $C_{1-10}$  alkoxy- $C_{1-10}$  alkylenyl, and aryl- $C_{1-10}$  alkylenyl;

$R_9$  is selected from the group consisting of hydrogen and alkyl;

$R_{10}$  is  $C_{3-8}$  alkylene;



R<sub>11</sub> is C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>13</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH<sub>2</sub>-, -O-, -C(O)-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-;

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7; or a pharmaceutically acceptable salt thereof.

11. The compound or salt of claim 9 wherein p is 0.

12. The compound or salt of any one of claims 4, 5, 9, or 11 wherein m is 0.

13. The compound or salt of any one of claims 3 through 8, or claim 12 as dependent on any one of claims 4 or 5, wherein n is 0.

14. The compound or salt of any one of claims 4 or 5 or claim 13 as dependent on any one of claims 4, 5, or 12 wherein m and n are 0.

15. The compound or salt of claim 9 or claim 12 as dependent on any one of claims 9 or 11 wherein p and m are 0.

16. The compound or salt of claim 10 wherein  $R_{A2}$  and  $R_{B2}$  are each methyl.

17. The compound or salt of any one of claims 1, 3, or 6, or claim 13 as dependent on any one of claims 3 or 6, wherein  $R'$  is selected from the group consisting of:

- 5                     $-R_4$ ,  
                       $-X'-R_4$ ,  
                       $-X'-Y-R_4$ ,  
                       $-X'-Y-X'-Y-R_4$ ,  
                       $-X'-R_5$ ,  
 10                    $-X''-O-NH-Y'-R_1'$ , and  
                       $-X''-O-N=C(R_1')(R_1'')$ ;

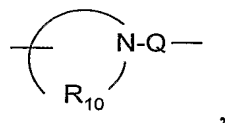
wherein:

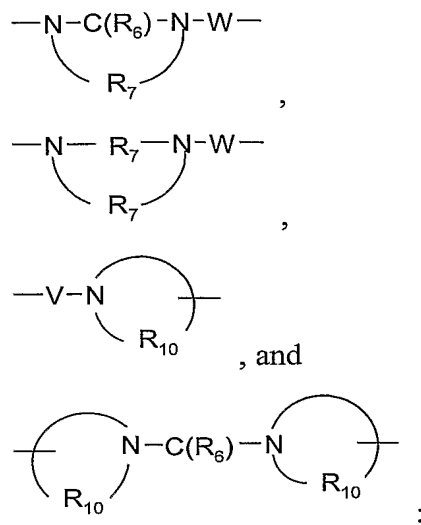
$X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and  
 15                   alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more  $-O-$  groups;

$X''$  is  $-\text{CH}(R_{13})$ alkylene or  $-\text{CH}(R_{13})$ alkenylene;

$Y$  is selected from the group consisting of:

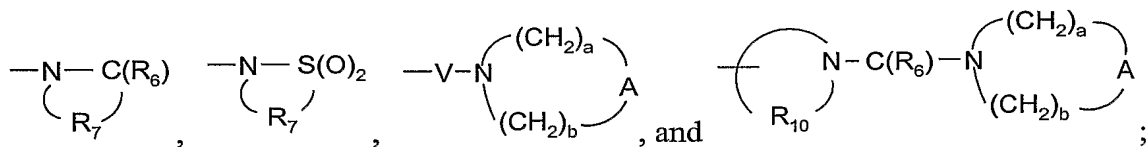
- 20                    $-\text{S}(\text{O})_{0-2}-$ ,  
                       $-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$ ,  
                       $-\text{C}(\text{R}_6)-$ ,  
                       $-\text{C}(\text{R}_6)-\text{O}-$ ,  
                       $-\text{O}-\text{C}(\text{R}_6)-$ ,  
                       $-\text{O}-\text{C}(\text{O})-\text{O}-$ ,  
 25                    $-\text{N}(\text{R}_8)-\text{Q}-$ ,  
                       $-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-$ ,  
                       $-\text{O}-\text{C}(\text{R}_6)-\text{N}(\text{R}_8)-$ ,  
                       $-\text{C}(\text{R}_6)-\text{N}(\text{OR}_9)-$ ,



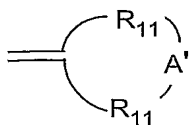


5 R<sub>4</sub> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted  
10 or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl,  
15 oxo;

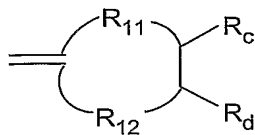
$R_5$  is selected from the group consisting of



R<sub>1</sub>' and R<sub>1</sub>" are independently R<sub>2</sub>, or R<sub>1</sub>' and R<sub>1</sub>" can join together to form a ring system selected from the group consisting of



wherein the total number of atoms in the ring is 4 to 9, and



wherein the total number of atoms in the ring is 4 to 9;

R<sub>c</sub> and R<sub>d</sub> are independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkenyl, aryl, haloalkyl, alkoxy, alkylthio, and -N(R<sub>9</sub>)<sub>2</sub>; or R<sub>c</sub> and R<sub>d</sub> can join to form a fused aryl ring or fused 5-10 membered heteroaryl ring containing one to four hetero atoms;

R<sub>6</sub> is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl, C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

R<sub>11</sub> is C<sub>1-6</sub> alkylene or C<sub>2-6</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>12</sub> is selected from the group consisting of a bond, C<sub>1-5</sub> alkylene, and C<sub>2-5</sub> alkenylene, wherein the alkylene or alkenylene is optionally interrupted by one heteroatom;

R<sub>13</sub> is selected from the group consisting of hydrogen and alkyl which may be optionally interrupted by one or more -O- groups;

A is selected from the group consisting of -CH<sub>2</sub>-, -O-, -C(O)-, -S(O)<sub>0-2</sub>-, and -N(R<sub>4</sub>)-

A' is selected from the group consisting of -O-, -S(O)<sub>0-2</sub>-, -N(-Q-R<sub>4</sub>)-, and -CH<sub>2</sub>-;

Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-, -C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

a and b are independently integers from 1 to 6 with the proviso that  $a + b \leq 7$ .

18. The compound or salt of claim 1, claim 3, or claim 17 as dependent on any one of claims 1 or 3 wherein:

5  $R'''$  is  $R$  or  $R_3$  when  $n$  is 1,  $R$  or one  $R$  and one  $R_3$  when  $n$  is 2, or  $R$  when  $n$  is 3 to 4;

$R$  is selected from the group consisting of:

halogen,  
hydroxy,  
10 alkyl,  
alkenyl,  
haloalkyl,  
alkoxy,  
alkylthio, and  
15  $-N(R_9)_2$ ;

$R_3$  is selected from the group consisting of:

$-Z-R_4$ ,  
 $-Z-X'-R_4$ ,  
 $-Z-X'-Y-R_4$ ,  
20  $-Z-X'-Y-X'-Y-R_4$ , and  
 $-Z-X'-R_5$ ;

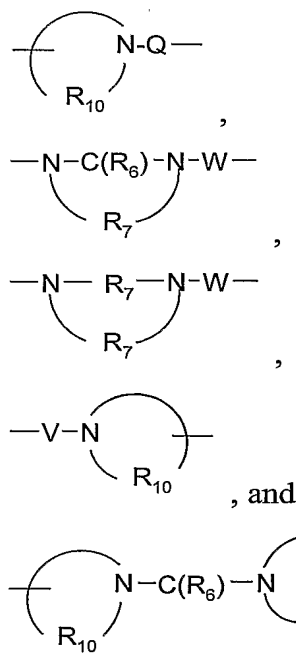
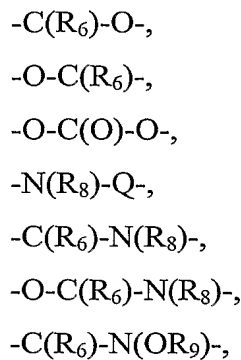
$n$  is 0 to 4;

$Z$  is a bond or  $-O-$ ;

25  $X'$  is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups can be optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more  $-O-$  groups;

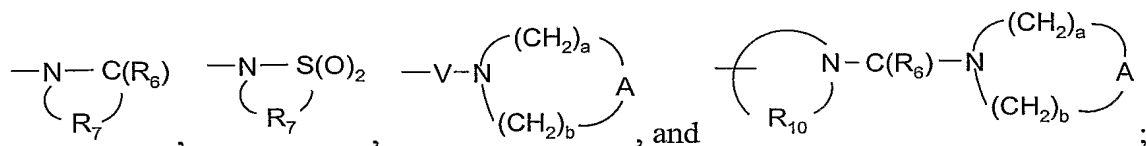
$Y$  is selected from the group consisting of:

30  $-S(O)_{0-2}-$ ,  
 $-S(O)_2-N(R_8)-$ ,  
 $-C(R_6)-$ ,



$\text{R}_4$  is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups can be unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

$\text{R}_5$  is selected from the group consisting of



$R_6$  is selected from the group consisting of =O and =S;

R<sub>7</sub> is C<sub>2-7</sub> alkylene;

R<sub>8</sub> is selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl, C<sub>2-10</sub> alkenyl,

5 C<sub>1-10</sub> alkoxy-C<sub>1-10</sub> alkylenyl, and aryl-C<sub>1-10</sub> alkylenyl;

R<sub>9</sub> is selected from the group consisting of hydrogen and alkyl;

R<sub>10</sub> is C<sub>3-8</sub> alkylene;

A is selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{O}-$ ,  $-\text{C}(\text{O})-$ ,  $-\text{S}(\text{O})_{0-2}-$ , and  $-\text{N}(\text{R}_4)-$ ;

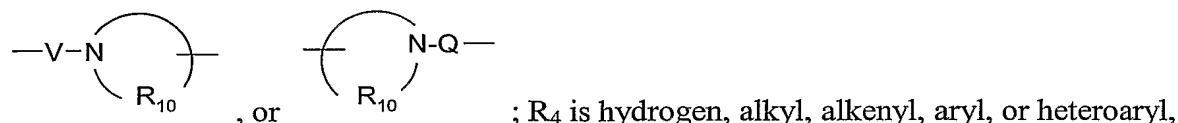
10 Q is selected from the group consisting of a bond, -C(R<sub>6</sub>)-, -C(R<sub>6</sub>)-C(R<sub>6</sub>)-, -S(O)<sub>2</sub>-,  
-C(R<sub>6</sub>)-N(R<sub>8</sub>)-W-, -S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -C(R<sub>6</sub>)-O-, and -C(R<sub>6</sub>)-N(OR<sub>9</sub>)-;

V is selected from the group consisting of -C(R<sub>6</sub>)-, -O-C(R<sub>6</sub>)-, -N(R<sub>8</sub>)-C(R<sub>6</sub>)-, and -S(O)<sub>2</sub>-;

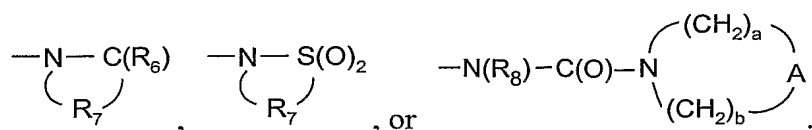
W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-; and

15 a and b are independently integers from 1 to 6 with the proviso that  $a + b$  is  $\leq 7$ .

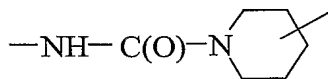
19. The compound or salt of any one of claims 2, 4, 5, 7 through 12, or 14 through 16, or claim 13 as dependent on any one of claims 4, 5, 7, 8, or 12, wherein R<sub>1</sub> is selected from the group consisting of alkyl, arylalkylenyl, aryloxyalkylenyl, hydroxyalkyl, alkylsulfonylalkylenyl, -X'-Y-R<sub>4</sub>, and -X'-R<sub>5</sub>; wherein X' is alkylene; Y is -N(R<sub>8</sub>)-C(O)-, -N(R<sub>8</sub>)-S(O)<sub>2</sub>-, -N(R<sub>8</sub>)-S(O)<sub>2</sub>-N(R<sub>8</sub>)-, -N(R<sub>8</sub>)-C(O)-N(R<sub>8</sub>)-, -N(R<sub>8</sub>)-C(O)-N(R<sub>8</sub>)-C(O)-,



wherein alkyl and alkenyl are optionally substituted by aryl or aryloxy and wherein aryl is optionally substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, cyano, haloalkyl, and halogen; and R<sub>5</sub> is



20. The compound or salt of claim 19 wherein  $R_1$  is 2-methylpropyl, 2-hydroxy-2-methylpropyl, or  $-X'-Y-R_4$ ;  $X'$  is ethylene, propylene, or butylene;  $Y$  is  $-\text{NH}-\text{C}(\text{O})-$ ,  $-\text{NH}-\text{S}(\text{O})_2-$ ,  $-\text{NH}-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$ ,  $-\text{NH}-\text{C}(\text{O})-\text{N}(\text{R}_8)-$ ,  $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{C}(\text{O})-$ , or

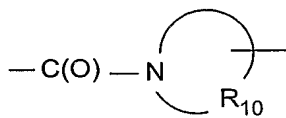


5 ; and  $R_8$  is hydrogen or methyl.

21. The compound or salt of any one of claims 1 through 20 wherein  $X$  is  $\text{C}_{1-4}$  alkylene.

10 22. The compound or salt of claim 21 wherein  $X$  is methylene.

23. The compound or salt of any one of claims 1 through 22 wherein  $Y'$  is selected from the group consisting of a bond,  $-\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})-\text{O}-$ ,  $-\text{S}(\text{O})_2-$ ,  $-\text{S}(\text{O})_2-\text{N}(\text{R}_8)-$ ,  $-\text{C}(\text{O})-\text{N}(\text{R}_8)-$ ,  $-\text{C}(\text{S})-\text{N}(\text{R}_8)-$ ,  $-\text{C}(\text{O})-\text{N}(\text{R}_8)-\text{C}(\text{O})-$ , and



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24. The compound or salt of claim 23 wherein  $Y'$  is selected from the group consisting of  $-\text{C}(\text{O})-$ ,  $-\text{S}(\text{O})_2-$ , and  $-\text{C}(\text{O})-\text{N}(\text{R}_8)-$ .

20 25. The compound or salt of any one of claims 1 through 24 wherein  $R_2$  and  $R_{2a}$  are independently selected from the group consisting of: hydrogen, alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, heterocyclalkylenyl, and alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclalkylenyl, substituted by one or more substituents selected from the group

25 consisting of: hydroxy, alkyl, haloalkyl, hydroxyalkyl, alkoxy, dialkylamino,  $-\text{S}(\text{O})_{0-2}$ -alkyl,  $-\text{S}(\text{O})_{0-2}$ -aryl,  $-\text{NH}-\text{S}(\text{O})_2$ -alkyl,  $-\text{NH}-\text{S}(\text{O})_2$ -aryl, haloalkoxy, halogen, cyano, nitro, aryl, heteroaryl, heterocyclyl, aryloxy, arylalkyleneoxy,  $-\text{C}(\text{O})-\text{O}$ -alkyl,  $-\text{C}(\text{O})-\text{N}(\text{R}_8)_2$ ,  $-\text{N}(\text{R}_8)-\text{C}(\text{O})$ -alkyl,  $-\text{O}-(\text{CO})$ -alkyl, and  $-\text{C}(\text{O})$ -alkyl.



26. The compound or salt of any one of claims 1 through 25 wherein R<sub>2a</sub> is hydrogen.

27. The compound or salt of any one of claims 1 through 25 wherein R<sub>2</sub> and R<sub>2a</sub> are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, heteroaryl, wherein the alkyl, alkenyl, aryl, and heteroaryl are each optionally substituted with one or more substituents selected from the group consisting of C<sub>1-10</sub> alkyl, aryl, heteroaryl, C<sub>1-10</sub> alkoxy, -O-C(O)-C<sub>1-10</sub> alkyl, -C(O)-O-C<sub>1-10</sub> alkyl, halogen, and cyano.

28. The compound or salt of any one of claims 1 through 27 wherein R<sub>2</sub> is alkyl or substituted alkyl, and R<sub>2a</sub> is hydrogen.

29. The compound or salt of claim 28 wherein R<sub>2</sub> is methyl or cyclopropyl, and R<sub>2a</sub> is hydrogen.

30. The compound or salt of any one of claims 1 through 27 wherein R<sub>2</sub> is alkenyl or substituted alkenyl, and R<sub>2a</sub> is hydrogen.

31. The compound or salt of any one of claims 1 through 26 wherein R<sub>2</sub> is aryl, arylalkylenyl, substituted aryl, or substituted arylalkylenyl, and R<sub>2a</sub> is hydrogen.

32. The compound or salt of any one of claims 1 through 26 wherein R<sub>2</sub> is heteroaryl, heteroarylalkylenyl, substituted heteroaryl, or substituted heteroarylalkylenyl, and R<sub>2a</sub> is hydrogen.

33. The compound or salt of any one of claims 1 through 26 wherein R<sub>2</sub> is heterocyclyl, heterocyclylalkylenyl, substituted heterocyclyl, or substituted heterocyclylalkylenyl, and R<sub>2a</sub> is hydrogen.

34. The compound or salt of any one of claims 1 through 26 wherein R<sub>2</sub> is selected from the group consisting of methyl, (ethoxycarbonyl)methyl, ethyl, cyclopropyl, cyclopropylmethyl, 2-(ethoxycarbonyl)cyclopropylmethyl, propyl, butyl, 2-methylpropyl,

*tert*-butyl, 3-methylbutyl, 2,2-dimethylpropyl, cyclopentyl, 2-cyclopentylethyl, furyl, fur-3-ylmethyl, furfuryl, furfurylmethyl, cyclohexyl, tetrahydrofuranyl, tetrahydrofuran-3-ylmethyl, 2-(methylthio)ethyl, 3-(methylthio)propyl, phenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2,6-dimethoxyphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 4-(dimethylamino)phenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-(methoxycarbonyl)phenyl, 4-(trifluoromethyl)phenyl, biphenyl, benzyl, 2-methylbenzyl, 3-methylbenzyl, 4-methylbenzyl, 2-fluorobenzyl, 3-fluorobenzyl, 4-fluorobenzyl, 2-chlorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 2-cyanobenzyl, 3-cyanobenzyl, 4-cyanobenzyl, 2-methoxybenzyl, 3-methoxybenzyl, 4-methoxybenzyl, 4-dimethylaminobenzyl, 3-hydroxy-4-methoxybenzyl, 4-acetamidobenzyl, 4-(methoxycarbonyl)benzyl, 4-(trifluoromethyl)benzyl, 1-phenylethyl, 2-phenylethyl, 2-phenylpropyl, 3-phenylpropyl, 2-phenylethenyl, phenoxymethyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethy, 1-methylpyrrol-2-yl, 1-methylpyrrol-2-ylmethyl, 1-methylimidazol-2-yl, 1-methylimidazol-2-ylmethyl, 1-methylimidazol-4-yl, 1-methylimidazol-4-ylmethyl, 3-cyclohexen-1-yl, 3-cyclohexen-1-ylmethyl, 3,4-dihydro-2*H*-pyran-2-yl, 3,4-dihydro-2*H*-pyran-2-ylmethyl, 1-methylpiperidin-4-yl, 1-acetylpiperidin-4-yl, 1-benzylpiperidin-4-yl, 2-thienyl, 3-thienyl, thien-2-ylmethyl, thiazol-2-yl, thiazol-2-ylmethyl, 5-isoxazolyl, 5-isoxazolylmethyl, quinolin-2-yl, quinolin-2-ylmethyl, pyrrolidinyl, 3,4-dichlorophenyl,  $\alpha$ -methylbenzyl, methoxymethyl, trifluoromethyl, and 2,2,2-trifluoroethyl; and R<sub>2a</sub> is hydrogen.

35. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of claims 1 through 34 in combination with a pharmaceutically acceptable carrier.

36. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of any one of claims 1 through 34 to the animal.

37. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 34 to the animal.

5 38. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 34 to the animal.